

request - Jan Delaval

Access DB# 16138

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Sabiba Qazi Examiner #: 74141 Date: 8/2/05
Art Unit: 1616 Phone Number 301 206 2222 Serial Number: 10/780,103
Mail Box and Bldg/Room Location: 4C70 Rm, 4A45 Results Format Preferred (circle) PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of invention: 26, 27-Homologated-20-epi-2-alkylidene-19-
Inventors (please provide full names): De Luca et al vit D comp

Earliest Priority Filing Date: 3/17/1997

* For Sequence Searches Only * Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search for method of treating
selective
cancerous disease as in cls 49, 54-58;

59-68; 69-78 and 78 to 88.

Please see attached sheets

Thank you

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Searcher: <u>Jan</u>	Type of Search	Vendors and cost where applicable
Searcher Phone #: <u>22504</u>	NA Sequence (#) _____	STN <input checked="" type="checkbox"/>
Searcher Location: _____	AA Sequence (#) _____	Dialog _____
Date Searcher Picked Up: <u>8/5/05</u>	Structure (#) <u>24</u>	Questel/Orbit _____
Date Completed: <u>8/5/05</u>	Bibliographic _____	Dr.Link _____
Searcher Fee: _____	Litigation _____	Lexis/Nexis _____
Review Time: _____	Fulltext _____	Sequence Systems _____
Technical Prep. fee: <u>15</u>	Patent Family _____	WWW-Internet: <u>0</u>
Online Time: <u>10</u>	Other _____	Other (Specify) _____

=> fil reg

FILE 'REGISTRY' ENTERED AT 15:22:48 ON 05 AUG 2005
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 4 AUG 2005 HIGHEST RN 858414-27-4
DICTIONARY FILE UPDATES: 4 AUG 2005 HIGHEST RN 858414-27-4

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

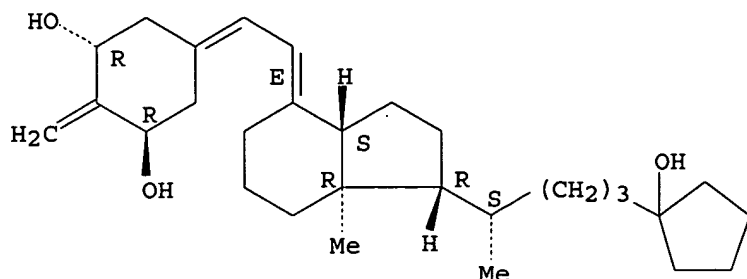
Structure search iteration limits have been increased. See HELP SLIMITS
for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d l12 ide can tot

L12 ANSWER 1 OF 4 REGISTRY COPYRIGHT 2005 ACS on STN
RN 364059-51-8 REGISTRY
ED Entered STN: 23 Oct 2001
CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-hydroxycyclopentyl)-2-
methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H46 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:401925

REFERENCE 2: 136:380526

REFERENCE 3: 135:358086

REFERENCE 4: 135:304063

REFERENCE 5: 135:288953

L12 ANSWER 2 OF 4 REGISTRY COPYRIGHT 2005 ACS on STN

RN 364059-50-7 REGISTRY

ED Entered STN: 23 Oct 2001

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-methoxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

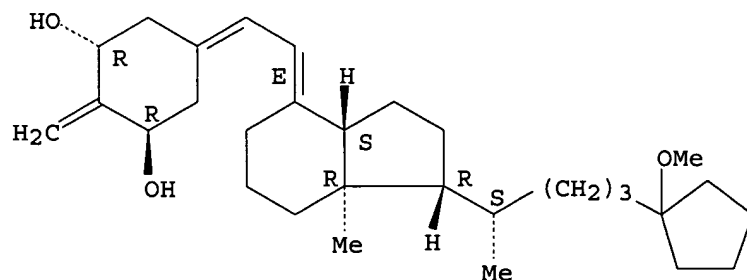
FS STEREOSEARCH

MF C30 H48 O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:401925

REFERENCE 2: 136:380526

REFERENCE 3: 135:358086

REFERENCE 4: 135:304063

REFERENCE 5: 135:288953

L12 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2005 ACS on STN

RN 364059-49-4 REGISTRY

ED Entered STN: 23 Oct 2001

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-cyclopentylidene-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

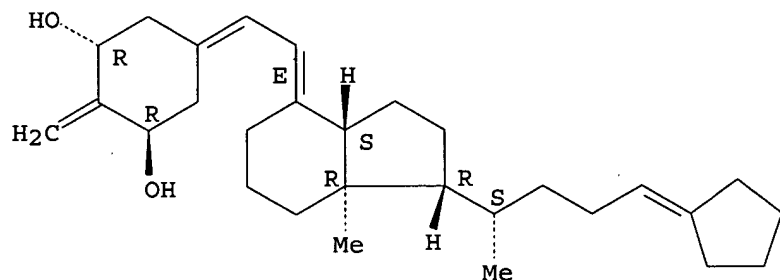
MF C29 H44 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:380526

REFERENCE 2: 135:358086

REFERENCE 3: 135:304063

REFERENCE 4: 135:288953

L12 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2005 ACS on STN

RN 364059-44-9 REGISTRY

ED Entered STN: 23 Oct 2001

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methylene-, (1R,3R)- (9CI) (CA INDEX NAME)

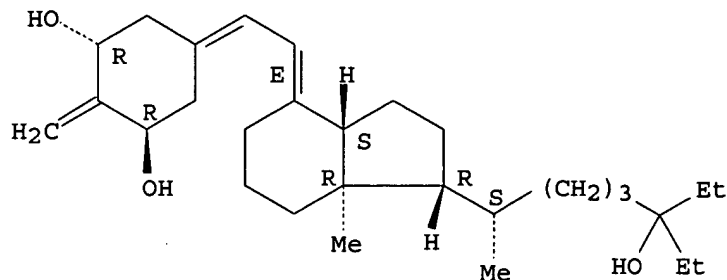
FS STEREOSEARCH

MF C29 H48 O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:401925

REFERENCE 2: 136:380526

REFERENCE 3: 135:358086

REFERENCE 4: 135:304063

REFERENCE 5: 135:288953

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(FILE 'HOME' ENTERED AT 15:12:24 ON 05 AUG 2005)
SET COST OFF

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L1 4 S (US20040167104 OR US6696531 OR US20030181427 OR US6537981 OR
SEL RN

FILE 'REGISTRY' ENTERED AT 15:14:13 ON 05 AUG 2005
L2 46 S E1-E46
L3 8 S L2 AND C5-C6/ES AND 46.150.1/RID AND 3/NR
L4 5 S L2 AND C5-C6/ES AND 46.150.1/RID AND C5/ES AND 4/NR
L5 1 S L3 AND C29H48O3
L6 51 S C29H48O3 AND C5-C6/ES AND 46.150.1/RID
L7 49 S L6 AND 3/NR
L8 3 S L4 NOT SI/ELS
L9 1884 S C30H48O3 OR C29H46O3 OR C29H44O2
L10 4 S L9 AND C5-C6/ES AND 46.150.1/RID AND C5/ES AND 4/NR
L11 3 S L10 NOT 114694-10-9
L12 4 S L5,L8,L11
SAV L12 QAZI780/A

FILE 'HCAOLD' ENTERED AT 15:21:10 ON 05 AUG 2005
L13 0 S L12

FILE 'HCAPLUS' ENTERED AT 15:21:14 ON 05 AUG 2005
L14 5 S L12

jan delaval - 5 august 2005

L15 4 S L14 AND (PY<=1997 OR PRY<=1997 OR AY<=1997)
 L16 5 S L14 AND (DELUCA ? OR DE LUCA ? OR LUCA ? OR SICINSKI ?)/AU

FILE 'USPATFULL' ENTERED AT 15:22:36 ON 05 AUG 2005
 L17 2 S L12

FILE 'REGISTRY' ENTERED AT 15:22:48 ON 05 AUG 2005

=> fil uspatful
 FILE 'USPATFULL' ENTERED AT 15:22:59 ON 05 AUG 2005
 CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 4 Aug 2005 (20050804/PD)
 FILE LAST UPDATED: 4 Aug 2005 (20050804/ED)
 HIGHEST GRANTED PATENT NUMBER: US6925651
 HIGHEST APPLICATION PUBLICATION NUMBER: US2005172377
 CA INDEXING IS CURRENT THROUGH 4 Aug 2005 (20050804/UPCA)
 ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 4 Aug 2005 (20050804/PD)
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2005
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2005

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
 >>> original, i.e., the earliest published granted patents or <<<
 >>> applications. USPAT2 contains full text of the latest US <<<
 >>> publications, starting in 2001, for the inventions covered in <<<
 >>> USPATFULL. A USPATFULL record contains not only the original <<<
 >>> published document but also a list of any subsequent <<<
 >>> publications. The publication number, patent kind code, and <<<
 >>> publication date for all the US publications for an invention <<<
 >>> are displayed in the PI (Patent Information) field of USPATFULL <<<
 >>> records and may be searched in standard search fields, e.g., /PN, <<<
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 >>> <<<
 >>> Use USPATALL when searching terms such as patent assignees, <<<
 >>> classifications, or claims, that may potentially change from <<<
 >>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d l17 bib abs hitstr tot

L17 ANSWER 1 OF 2 USPATFULL on STN
 AN 2002:116429 USPATFULL
 TI 26,27-homologated-20-EPI-2-alkylidene-19-nor-vitamin D compounds
 IN DeLuca, Hector F., Deerfield, WI, United States
 Sicinski, Rafal R., Warsaw, POLAND
 PA Wisconsin Alumni: Research Foundation, Madison, WI, United States (U.S.
 corporation)
 PI US 6392071 B1 20020521
 AI US 2000-540686 20000331 (9)
 RLI Continuation-in-part of Ser. No. US 1999-370966, filed on 10 Aug 1999,
 now abandoned Continuation of Ser. No. US 1998-151113, filed on 10 Sep
 1998, now patented, Pat. No. US 5936133 Division of Ser. No. US
 1997-819693, filed on 17 Mar 1997, now patented, Pat. No. US 5843928
 DT Utility

FS GRANTED
 EXNAM Primary Examiner: Qazi, Sabiha
 LREP Andrus, Sceales, Starke & Sawall, LLP
 CLMN Number of Claims: 9
 ECL Exemplary Claim: 1
 DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
 LN.CNT 1372

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention provides a novel class of vitamin D related compounds, namely, the 2-alkylidene-19-nor-vitamin D derivatives, as well as a general method for their chemical synthesis. The compounds have the formula: ##STR1##

where Y.sub.1 and Y.sub.2, which may be the same or different, are each selected from the group consisting of hydrogen and a hydroxy-protecting group, R.sub.6 and R.sub.8, which may be the same or different, are each selected from hydrogen, alkyl, hydroxyalkyl and fluoroalkyl, or when taken together represent the group --(CH.sub.2).sub.x-- where x is an integer from 2 to 5, and where the group R represents any of the typical side chains known for vitamin D type compounds. These 2-substituted compounds are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compounds also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

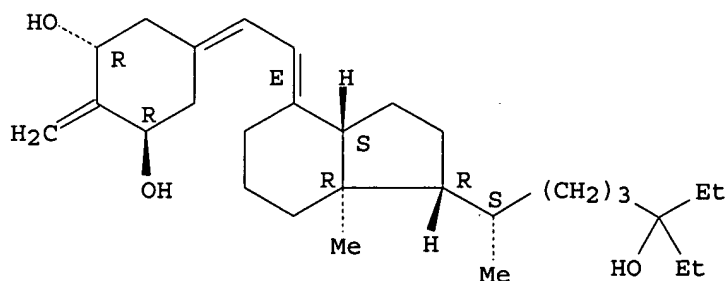
IT 364059-44-9P 364059-50-7P 364059-51-8P

(preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

RN 364059-44-9 USPTFULL

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methylene-, (1R,3R)- (9CI) (CA INDEX NAME)

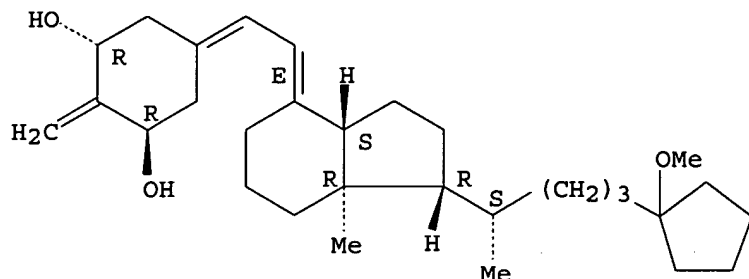
Absolute stereochemistry.
 Double bond geometry as shown.



RN 364059-50-7 USPTFULL

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-methoxycyclopentyl)-2-methylene-, (1α,3β,7E,20S)- (9CI) (CA INDEX NAME)

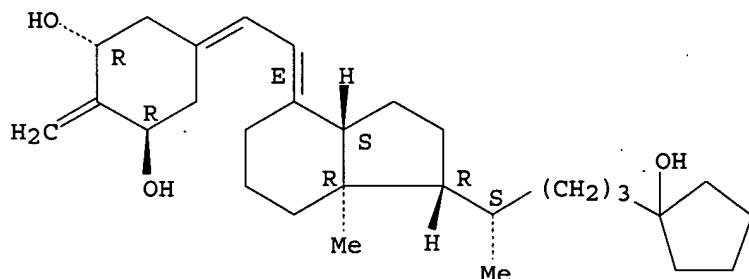
Absolute stereochemistry.
 Double bond geometry as shown.



RN 364059-51-8 USPATFULL

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-hydroxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L17 ANSWER 2 OF 2 USPATFULL on STN

AN 2001:202815 USPATFULL

TI 26,27-Homologated-20-EPI-2alkyl-19-nor-vitamin D compounds

IN DeLuca, Hector F., Deerfield, WI, United States

Sicinski, Rafal R., Warsaw, Poland

PA Wisconsin Alumni Research Foundation, Madison, WI, United States (U.S. corporation)

PI US 6316642 B1 20011113

AI US 2000-541470 20000331 (9)

RLI Continuation-in-part of Ser. No. US 1999-454013, filed on 3 Dec 1999
Division of Ser. No. US 1998-135463, filed on 17 Aug 1998, now patented,
Pat. No. US 6127559 Continuation-in-part of Ser. No. US 1997-819694,
filed on 17 Mar 1997, now patented, Pat. No. US 5945410

DT Utility

FS GRANTED

EXNAM Primary Examiner: Qazi, Sabiha

LREP Andrus, Sceales, Starke & Sawall, LLP

CLMN Number of Claims: 13

ECL Exemplary Claim: 1

DRWN 6 Drawing Figure(s); 6 Drawing Page(s)

LN.CNT 1931

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention provides a novel class of vitamin D related compounds, namely, 2-alkyl-19-nor-vitamin D derivatives, as well as a general method for their chemical synthesis. The compounds have the formula:
##STR1##

where Y.sub.1 and Y.sub.2, which may be the same or different, are each

selected from the group consisting of hydrogen and a hydroxy-protecting group, R.sub.6 is selected from the group consisting of alkyl, hydroxyalkyl and fluoroalkyl, and where the group R represents any of the typical side chains known for vitamin D type compounds. These 2-substituted compounds, especially the 2 α -methyl and the 2 α -methyl-20S derivatives, are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compounds also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

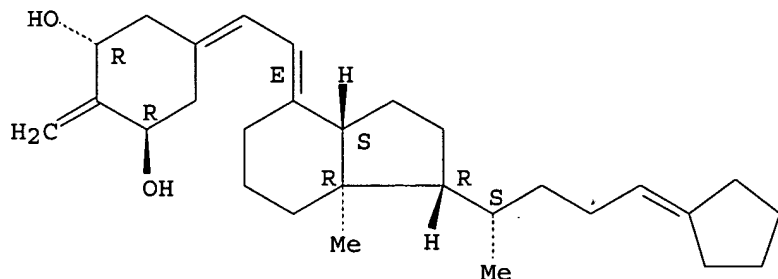
IT 364059-49-4P 364059-50-7P

(preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds. with high intestinal calcium transport activity)

RN 364059-49-4 USPATFULL

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-cyclopentylidene-2-methylene-, (1 α ,3 β ,7E,20S) - (9CI) (CA INDEX NAME)

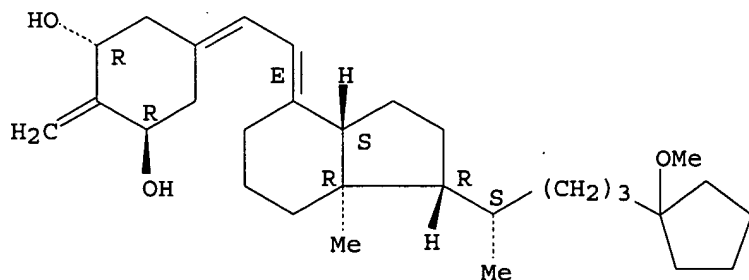
Absolute stereochemistry.
Double bond geometry as shown.



RN 364059-50-7 USPATFULL

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-methoxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



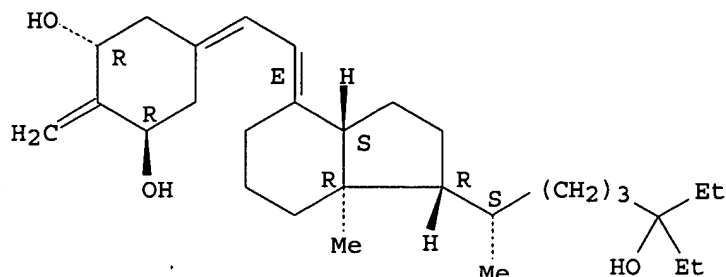
IT 364059-44-9P 364059-51-8P

(preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds. with high intestinal calcium transport activity)

RN 364059-44-9 USPATFULL

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methylene-, (1R,3R)- (9CI) (CA INDEX NAME)

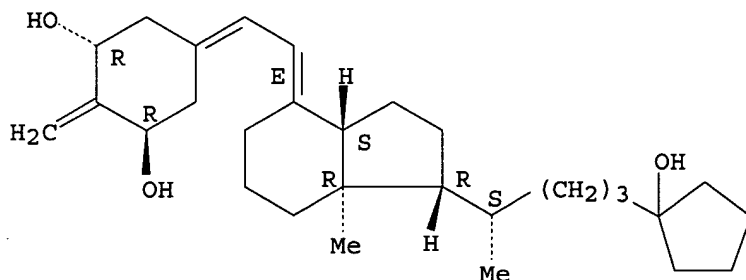
Absolute stereochemistry.
Double bond geometry as shown.



RN 364059-51-8 USPATFULL

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-hydroxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



=> fil hcaplus

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FILE COVERS 1907 - 5 Aug 2005 VOL 143 ISS 7

FILE LAST UPDATED: 4 Aug 2005 (20050804/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L16 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:387626 HCAPLUS
 DN 136:401925
 ED Entered STN: 23 May 2002
 TI Preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compounds as antiosteoporotics and antitumor agents
 IN Deluca, Hector F.; Sicinski, Rafal R.
 PA Wisconsin Alumni Research Foundation, USA
 SO U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 370,966, abandoned.
 CODEN: USXXAM

DT Patent
 LA English
 IC ICM C07C401-00
 ICS A61K031-593

INCL 552653000

CC 32-7 (Steroids)
 Section cross-reference(s): 1, 63

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6392071	B1	20020521	US 2000-540686	20000331
	US 5843928	A	19981201	US 1997-819693	19970317
	US 5936133	A	19990810	US 1998-151113	19980910
	CA 2404548	AA	20011011	CA 2001-2404548	20010329
	WO 2001074766	A1	20011011	WO 2001-US10317	20010329
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP	1268416	A1	20030102	EP 2001-920897	20010329
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP	2003529581	T2	20031007	JP 2001-572461	20010329
NZ	522160	A	20041126	NZ 2001-522160	20010329
US	2002087015	A1	20020704	US 2001-1711	20011031
	US 6537981	B2	20030325		
	US 2003181427	A1	20030925	US 2003-352745	20030128
	US 6696431	B2	20040224		
	US 2004167104	A1	20040826	US 2004-780103	20040217
PRAI	US 1997-819693	A3	19970317		
	US 1998-151113	A1	19980910		
	US 1999-370966	B2	19990810		
	US 2000-540686	A	20000331		
	WO 2001-US10317	W	20010329		
	US 2001-1711	A3	20011031		
	US 2003-352745	A3	20030128		

CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

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US 6392071      ICM      C07C401-00
                  ICS      A61K031-593
                  INCL     552653000
US 6392071      NCL      552/653.000
                  ECLA     C07C401/00; C07F007/18C4D4C; C07F007/18C4D4D
US 5843928      NCL      514/167.000; 552/653.000
                  ECLA     C07C401/00; C07F007/18C4D4C; C07F007/18C4D4C
US 5936133      NCL      568/828.000; 556/436.000; 556/437.000; 556/482.000;
                  560/126.000; 560/128.000; 568/014.000; 568/470.000
                  ECLA     C07C401/00; C07F007/18C4D4C; C07F007/18C4D4C
WO 2001074766   ECLA     C07C401/00
US 2002087015   NCL      514/167.000; 552/653.000
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US 2004167104   NCL      514/167.000
                  ECLA     C07C401/00; C07F007/18C4D4C; C07F007/18C4D4D
OS   MARPAT 136:401925
GI

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel vitamin D related compds., namely, 2-alkylidene-19-nor-vitamin D derivs. of formula I [Y1, Y2 = H, protecting group; R6, R8 = alkyl, hydroxyalkyl, fluoroalkyl, etc., or when taken together represent the group -(CH2)x- where x is an integer from 2 to 5; R = any of the typical side chains known for vitamin D type compds.] are prepared These 2-substituted compds. are characterized by low intestinal calcium transport activity and high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. Thus, 20(S)-1 α ,25-dihydroxy-2-methylene-26,27-dihomo-19-nor-vitamin D₃ (II) was prepared via a multistep synthetic sequence starting from 20(S)-25-hydroxy Grundmann's ketone analog III and phosphine oxide IV. The intestinal calcium transport and serum calcium (bone calcium mobilization) activities in vitamin D-deficient rats on a low calcium diet responding to chronic doses of II at 15 pmol/day/7 days were 4.0 \pm 0.4 S/M and 5.3 \pm 0.1 S/M resp. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

ST vitamin D nor alkylidene prepn antiosteoporotics calcium mobilization; antitumor alkylidene nor vitamin D prepn treatment psoriasis

IT Biological transport
(calcium; preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Antitumor agents
(preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Vitamin D receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT 9,10-Secosteroids
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Osteoporosis

(therapeutic agents; preparation of

26,27-homologated-20-epi-2-alkylidene-19-

nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Bone, disease

Psoriasis

(treatment; preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT 213250-70-5P 213319-29-0P 364059-44-9P 364059-50-7P

364059-51-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT 1779-49-3, Methyltriphenylphosphonium bromide 2916-76-9,

Methyl(trimethylsilyl)acetate 32222-06-3 135711-62-5 144848-24-8

213250-67-0 364059-41-6 364059-46-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT 213250-58-9P 213250-59-0P 213250-60-3P 213250-61-4P 213250-62-5P

213250-63-6P 213250-64-7P 213250-65-8P 213250-68-1P 213250-69-2P

364059-42-7P 364059-43-8P 364059-47-2P 364059-48-3P 428817-35-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Anon; WO 9841501 1998 HCAPLUS

(2) Deluca; US 4800198 A 1989 HCAPLUS

(3) Deluca; US 4851401 A 1989 HCAPLUS

(4) Deluca; US 5089641 A 1992 HCAPLUS

(5) Deluca; US 5587497 A 1996 HCAPLUS

(6) Deluca; US 5945410 A 1999 HCAPLUS

(7) Deluca; US 5981780 A 1999 HCAPLUS

(8) Paaren; US 5936105 A 1999 HCAPLUS

(9) Sicinski; J Med Chem 1998, P4662 HCAPLUS

(10) Yang; Journal of Biological Chemistry 1999, P16838 HCAPLUS

IT 364059-44-9P 364059-50-7P 364059-51-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

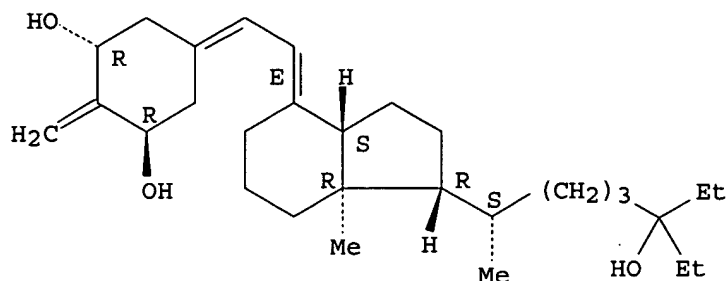
(preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

RN 364059-44-9 HCAPLUS

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methylene-, (1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

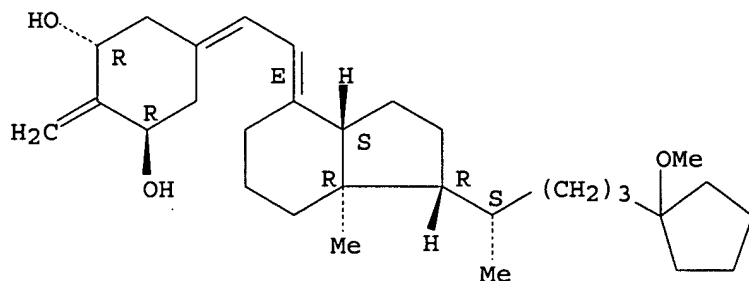
Double bond geometry as shown.



RN 364059-50-7 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-methoxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

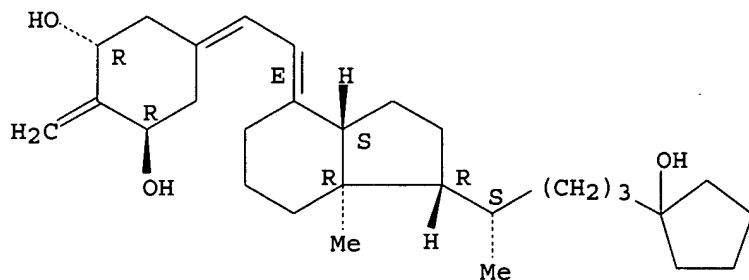
Absolute stereochemistry.
Double bond geometry as shown.



RN 364059-51-8 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-hydroxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L16 ANSWER 2 OF 5. HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:133888 HCAPLUS

DN 136:380526

ED Entered STN: 20 Feb 2002

TI New highly calcemic 1 α ,25-dihydroxy-19-norvitamin D3 compounds with modified side chain: 26,27-dihomo- and 26,27-dimethylene analogs in 20S-series

AU Sicinski, Rafal R.; Prahl, Jean M.; Smith, Connie M.;

DeLuca, Hector F.

CS Department of Biochemistry, College of Agricultural and Life Sciences,
University of Wisconsin-Madison, Madison, WI, 53706, USA

SO Steroids (2002), 67(3,4), 247-256
CODEN: STEDAM; ISSN: 0039-128X

PB Elsevier Science Inc.

DT Journal

LA English

CC 2-10 (Mammalian Hormones)

AB New highly potent 2-substituted (20S)-1 α ,25-dihydroxy-19-norvitamin
D3 analogs with elongated side chain were prepared by Wittig-Horner coupling
of A-ring phosphine oxide with the corresponding protected
(20S)-25-hydroxy Grundmann's ketones. Biol. evaluation in vitro and in
vivo of the synthesized compds. was accomplished. All the synthesized
vitamins possessing a 25-hydroxylated saturated side chain were slightly less
active (3-5X) than 1 α ,25-dihydroxyvitamin D3 in binding to the
porcine intestinal vitamin D receptor and significantly more potent
(12-150X) in causing differentiation of HL-60 cells. In vivo,
2-methylene-26,27-dihomo and 2 α -methyl-26,27-dimethylene analogs
were at least 10 times more active, and 2 α -methyl-26,27-dihomo
compound at least 5 times more active than the vitamin D hormone both in
stimulating intestinal calcium transport and bone calcium mobilization
(serum calcium increase). It was also established that a 260 pmol dose of
the corresponding 2 β -Me analogs had a similar effect on intestinal
calcium transport and a much more pronounced effect on bone calcium
mobilization as the same dose of 1 α ,25-dihydroxyvitamin D3.

ST dihydroxyvitamin D3 analog biol activity structure; vitamin D receptor
binding calcitriol analog structure; calcium transport bone intestinal
calcitriol analog structure; cell differentiation calcitriol analog
structure

IT Bone
Cell differentiation
Intestine
Molecular modeling
(calcitriol analogs preparation and vitamin D-binding, calciotropic and cell
differentiating activity)

IT Vitamin D receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(calcitriol analogs preparation and vitamin D-binding, calciotropic and cell
differentiating activity)

IT Structure-activity relationship
(calcium-mobilizing; calcitriol analogs preparation and vitamin D-binding,
calciotropic and cell differentiating activity)

IT Biological transport
(calcium; calcitriol analogs preparation and vitamin D-binding, calciotropic
and cell differentiating activity)

IT Structure-activity relationship
(cell differentiation-affecting; calcitriol analogs preparation and vitamin
D-binding, calciotropic and cell differentiating activity)

IT Structure-activity relationship
(receptor-binding; calcitriol analogs preparation and vitamin D-binding,
calciotropic and cell differentiating activity)

IT 32222-06-3, Calcitriol
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological
study)
(calcitriol analogs preparation and vitamin D-binding, calciotropic and cell
differentiating activity)

IT 364059-44-9P 364059-51-8P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN
(Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT

(Reactant or reagent)

(calcitriol analogs preparation and vitamin D-binding, calciotropic and cell differentiating activity)

IT 195051-26-4DP, dihomio and dimethylene analogs 364059-45-0P
364059-49-4P 364059-50-7P 364059-52-9P 372965-48-5P
372965-49-6P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(calcitriol analogs preparation and vitamin D-binding, calciotropic and cell differentiating activity)

IT 364059-42-7P 364059-43-8P 364059-47-2P 364059-48-3P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(calcitriol analogs preparation and vitamin D-binding, calciotropic and cell differentiating activity)

IT 213250-64-7 364059-41-6 364059-46-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(calcitriol analogs preparation and vitamin D-binding, calciotropic and cell differentiating activity)

IT 7440-70-2, Calcium, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(transport; calcitriol analogs preparation and vitamin D-binding,
calciotropic and cell differentiating activity)

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Binderup, L; Biochem Pharmacol 1991, V42, P1569 HCAPLUS
- (2) Binderup, L; Biochem Pharmacol 1992, V43, P1885 HCAPLUS
- (3) Bouillon, R; Endocrine Rev 1995, V16, P200 HCAPLUS
- (4) Calverley, M; BioMed Chem Lett 1993, V3, P1845 HCAPLUS
- (5) Connor, R; Biochem Biophys Res Commun 1991, V176, P852 HCAPLUS
- (6) Dame, M; Biochemistry 1986, V25, P4523 HCAPLUS
- (7) DeLuca, H; EP 387077 1990 HCAPLUS
- (8) DeLuca, H; Comprehensive Medicinal Chemistry 1991, V3, P1129
- (9) DeLuca, H; FASEB J 1988, V2, P224 HCAPLUS
- (10) Eguchi, T; Chem Pharm Bull 1990, V38, P1246 HCAPLUS
- (11) Evans, S; J Surg Res 1996, V61, P127 HCAPLUS
- (12) Honda, A; Steroids 1991, V56, P142 HCAPLUS
- (13) Ikekawa, N; Chem Pharm Bull 1987, V35, P4362 HCAPLUS
- (14) Ikekawa, N; Med Res Rev 1987, V7, P333 HCAPLUS
- (15) Ikekawa, N; Vitamin D: Molecular, Cellular and Clinical Endocrinology 1988, P25 HCAPLUS
- (16) Koeffler, H; Cancer Res 1984, V44, P5624 HCAPLUS
- (17) Miyahara, T; Calcif Tissue Int 1992, V51, P218 HCAPLUS
- (18) Nordin, B; J Cell Biochem 1992, V49, P19 HCAPLUS
- (19) Ostrem, V; J Biol Chem 1987, V262, P14164 HCAPLUS
- (20) Ostrem, V; Steroids 1987, V49, P73 HCAPLUS
- (21) Paaren, H; US 5936105 1999 HCAPLUS
- (22) Perlman, K; Tetrahedron Lett 1990, V31, P1823 HCAPLUS
- (23) Perlman, K; Tetrahedron Lett 1991, V32, P7663 HCAPLUS
- (24) Reichel, H; N Engl J Med 1989, V320, P980 HCAPLUS
- (25) Shabahang, M; Ann Surg Oncol 1996, V3, P144 MEDLINE
- (26) Sicinski, R; J Med Chem 1994, V37, P3730 HCAPLUS
- (27) Sicinski, R; J Med Chem 1998, V41, P4662 HCAPLUS
- (28) Snedecor, G; Statistical Methods, 6th edition 1967
- (29) Suda, T; Annu Rev Nutr 1990, V10, P195 HCAPLUS
- (30) Suda, T; J Nutr 1970, V100, P1049 HCAPLUS
- (31) Suda, T; Proc Soc Exp Biol Med 1989, V191, P214 HCAPLUS
- (32) Tsoukas, C; Science 1984, V224, P1438 HCAPLUS
- (33) Uhland-Smith, A; J Nutr 1993, V123, P1777 HCAPLUS
- (34) Walters, M; Endocrine Rev 1992, V13, P719 HCAPLUS

(35) Yu, X; Proc Natl Acad Sci USA 1991, V88, P8347 HCAPLUS

IT 364059-44-9P 364059-51-8P

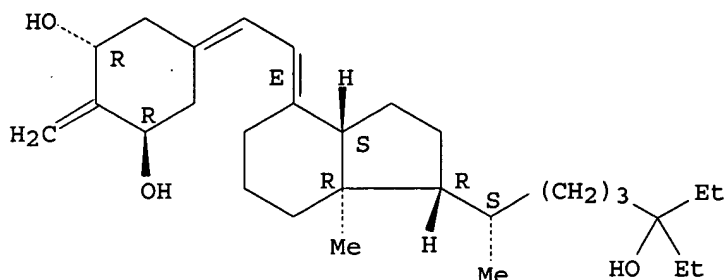
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(calcitriol analogs preparation and vitamin D-binding, calcitropic and cell differentiating activity)

RN 364059-44-9 HCAPLUS

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methylene-, (1R,3R)- (9CI) (CA INDEX NAME)

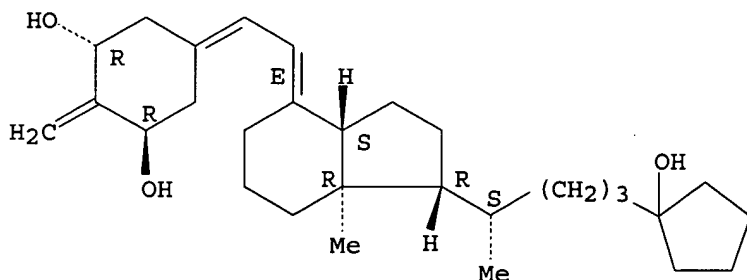
Absolute stereochemistry.
Double bond geometry as shown.



RN 364059-51-8 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-hydroxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



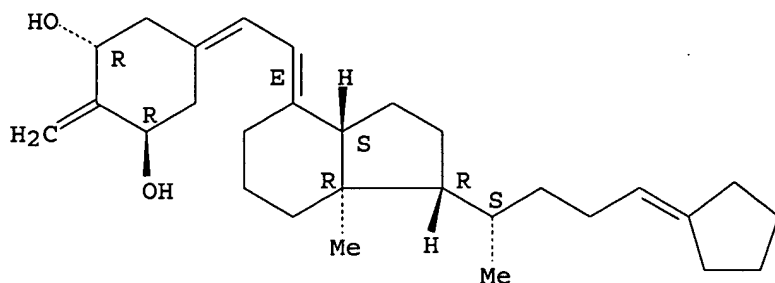
IT 364059-49-4P 364059-50-7P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(calcitriol analogs preparation and vitamin D-binding, calcitropic and cell differentiating activity)

RN 364059-49-4 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-cyclopentylidene-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

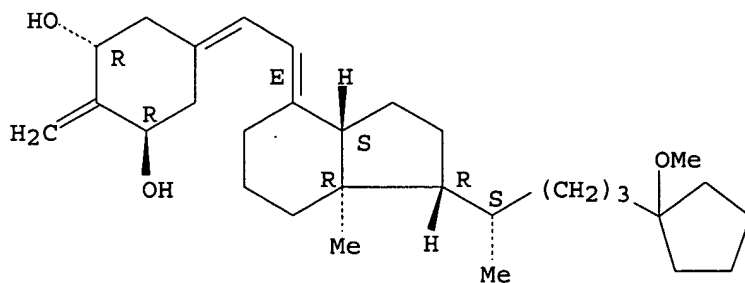


RN 364059-50-7 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-methoxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L16 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:830900 HCAPLUS

DN 135:358086

ED Entered STN: 15 Nov 2001

TI Preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compounds

IN Deluca, Hector F.; Sicinski, Rafal R.

PA Wisconsin Alumni Research Foundation, USA

SO U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 454,013.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07C401-00

ICS A61K031-59

INCL 552653000

CC 32-7 (Steroids)

Section cross-reference(s): 1, 63

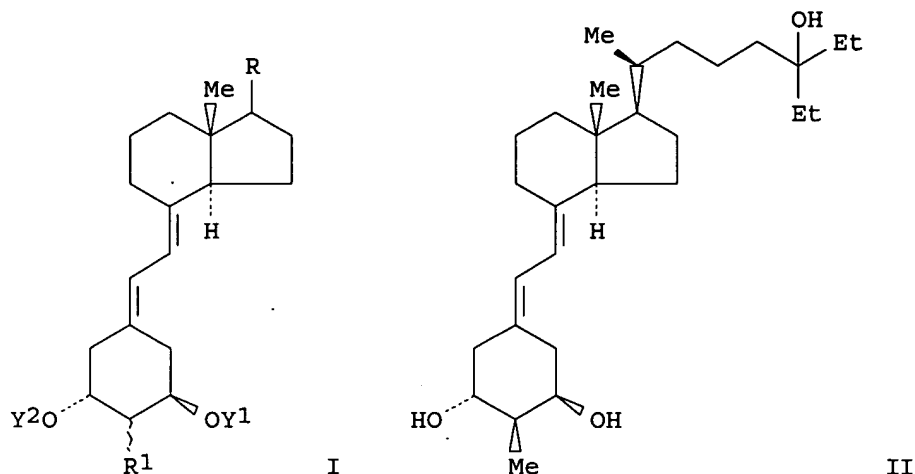
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6316642	B1	20011113	US 2000-541470	20000331
	US 5945410	A	19990831	US 1997-819694	19970317
	US 6127559	A	20001003	US 1998-135463	19980817
	US 6277837	B1	20010821	US 1999-454013	19991203
	CA 2403232	AA	20011011	CA 2001-2403232	20010329
	WO 2001074765	A1	20011011	WO 2001-US10094	20010329
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,			

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
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 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
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 EP 1268415 A1 20030102 EP 2001-920863 20010329
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004500414 T2 20040108 JP 2001-572460 20010329
 US 2002123638 A1 20020905 US 2001-999299 20011031
 US 6544969 B2 20030408
 US 2003073857 A1 20030417 US 2002-246968 20020919
 US 6667298 B2 20031223
 US 2004072804 A1 20040415 US 2003-673618 20030929
 US 2004082802 A1 20040429 US 2003-683330 20031010
 PRAI US 1997-819694 A2 19970317
 US 1998-135463 A3 19980817
 US 1999-454013 A2 19991203
 US 2000-541470 A 20000331
 WO 2001-US10094 W 20010329
 US 2001-45941 B3 20011019
 US 2001-999299 A3 20011031
 US 2002-246968 A3 20020919

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6316642	ICM	C07C401-00
	ICS	A61K031-59
	INCL	552653000
US 6316642	NCL	552/653.000
	ECLA	C07C401/00
US 5945410	NCL	514/167.000; 552/653.000
	ECLA	C07C401/00
US 6127559	NCL	552/653.000
	ECLA	C07C401/00
US 6277837	NCL	514/167.000; 552/653.000
	ECLA	C07C401/00
WO 2001074765	ECLA	C07C401/00
JP 2004500414	FTERM	4C086/AA01; 4C086/AA02; 4C086/AA03; 4C086/DA16; 4C086/MA01; 4C086/MA04; 4C086/MA13; 4C086/MA17; 4C086/MA22; 4C086/MA23; 4C086/MA28; 4C086/MA32; 4C086/MA35; 4C086/MA37; 4C086/MA41; 4C086/MA52; 4C086/MA57; 4C086/MA59; 4C086/MA63; 4C086/MA66; 4C086/NA14; 4C086/ZA89; 4C086/ZA96; 4C086/ZA97; 4C086/ZB26; 4C086/ZB27; 4C086/ZC23; 4H006/AA01; 4H006/AB20; 4H006/UA13; 4H006/UA42; 4H006/UA51; 4H006/UA52
US 2002123638	NCL	514/167.000; 552/653.000
	ECLA	C07C401/00
US 2003073857	NCL	514/167.000; 552/653.000
	ECLA	C07C401/00
US 2004072804	NCL	514/167.000
	ECLA	A61K031/56; A61K031/59
US 2004082802	NCL	552/653.000
	ECLA	C07C401/00
OS	MARPAT 135:358086	
GI		



- AB 2-Alkyl-19-nor-vitamin D derivs. of formula I [Y1, Y2 = H, protecting group; R = typical side chains known for vitamin D type compds.; R1 = alkyl, hydroxyalkyl, fluoroalkyl] are prepared. These 2-substituted compds., especially the 2 α -Me and the 2 α -methyl-20S derivs., are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anticancer agents and for the treatment of diseases such as psoriasis. Thus, II was prepared and showed preferential activity on bone in biol. activity tests.
- ST vitamin D epi nor homologated prepn calcium transport; osteoporosis
vitamin D epi nor homologated; psoriasis vitamin D epi nor homologated;
asthma vitamin D epi nor homologated
- IT Biological transport
(calcium; preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds. with high intestinal calcium transport activity)
- IT Antitumor agents
Asthma
Osteoporosis
Psoriasis
(preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds. with high intestinal calcium transport activity)
- IT 9,10-Secosteroids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds. with high intestinal calcium transport activity)
- IT Vitamin D receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds. with high intestinal calcium transport activity)
- IT 213319-30-3P 213332-83-3P 217446-51-0P 217446-52-1P 217446-53-2P

217446-54-3P 217446-55-4P 217446-56-5P 364059-45-0P
 364059-49-4P 364059-50-7P 364059-52-9P 372965-48-5P
 372965-49-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds. with high intestinal calcium transport activity)

IT 77-95-2, (-)-Quinic acid 144848-24-8 213250-67-0 364059-41-6
 364059-46-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds. with high intestinal calcium transport activity)

IT 135711-62-5P 213250-58-9P 213250-59-0P 213250-60-3P 213250-61-4P
 213250-62-5P 213250-63-6P 213250-64-7P 213250-65-8P 213250-68-1P
 213250-69-2P 213250-70-5P 213319-29-0P 364059-42-7P 364059-43-8P
 364059-44-9P 364059-47-2P 364059-48-3P 364059-51-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds. with high intestinal calcium transport activity)

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Anon; EP 0184206 1985 HCAPLUS
- (2) Anon; EP 0078704 1987 HCAPLUS
- (3) Anon; 1989 HCAPLUS
- (4) Anon; EP 0387077 1990 HCAPLUS
- (5) Anon; WO 9009991 1990 HCAPLUS
- (6) Anon; EP 0474517 1992 HCAPLUS
- (7) Anon; EP 0480572 1992 HCAPLUS
- (8) Anon; EP 0516410 1992 HCAPLUS
- (9) Anon; WO 9601811 1996 HCAPLUS
- (10) Anon; Chemical Abstracts 1994, V121(21)
- (11) Baggiolini; Journal of Organic Chemistry 1986, V51, P3098 HCAPLUS
- (12) Bouillon; Bioactivity of 19-Nor-Pre D 1993, V8(8), P1009 HCAPLUS
- (13) Brenner; US 5849726 1998 HCAPLUS
- (14) Deluca; US 5086191 1992 HCAPLUS
- (15) Deluca; US 5237110 1993 HCAPLUS
- (16) Deluca; US 5246925 1993 HCAPLUS
- (17) Deluca; US 5536713 1996 HCAPLUS
- (18) Deluca; US 5587497 1996 HCAPLUS
- (19) Deluca; US 5843927 1998 HCAPLUS
- (20) Kiegiel; Tetrahedron Letters 1991, V31(43), P6057
- (21) Kutner; US 5817648 1998 HCAPLUS
- (22) Labrie; US 5846960 1998 HCAPLUS
- (23) Miyamoto; US 4666634 1987 HCAPLUS
- (24) Miyamoto; US 5877168 1999 HCAPLUS
- (25) Okano; Biochemical and Biophysical Research Communications 1989, V163(3), P1444 HCAPLUS
- (26) Perlman; Tetrahedron Letters 1990, V31(13), P1823 HCAPLUS
- (27) Posner; Journal of Organic Chemistry 1995, V56, P4339
- (28) Posner; Journal of Organic Chemistry 1995, V60, P4617 HCAPLUS
- (29) Sarandeses; tetrahedron Letters 1992, P5445 HCAPLUS
- (30) Sicinski; Journal of Medical Chemistry 1998, V41, P4662 HCAPLUS
- (31) Slatopolsky; American Journal of Kidney Disorders 1995, V26(5), P832

IT 364059-49-4P 364059-50-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds.

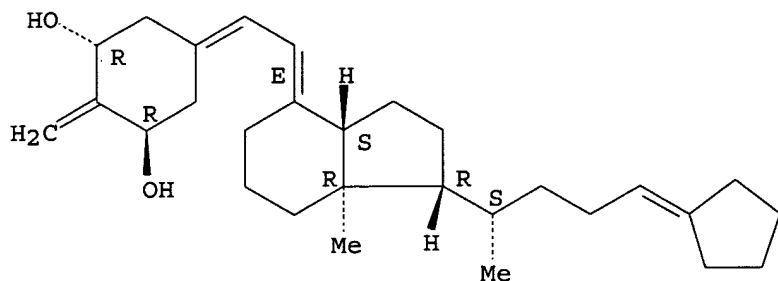
with high intestinal calcium transport activity)

RN 364059-49-4 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-cyclopentylidene-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

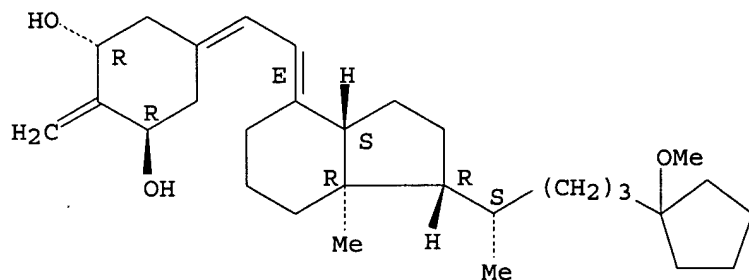


RN 364059-50-7 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-methoxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 364059-44-9P 364059-51-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

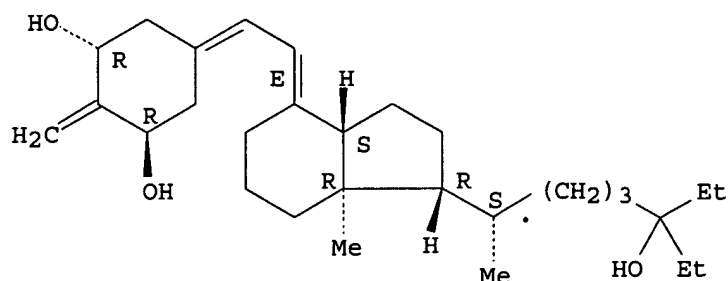
(preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds. with high intestinal calcium transport activity)

RN 364059-44-9 HCAPLUS

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methylene-, (1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

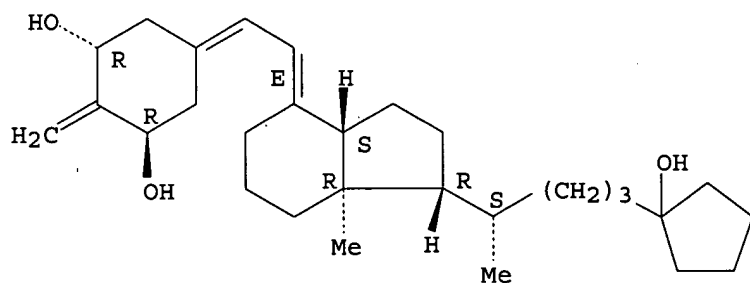
Double bond geometry as shown.



RN 364059-51-8 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-hydroxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L16 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:747743 HCAPLUS

DN 135:288953

ED Entered STN: 12 Oct 2001

TI Preparation of 2-alkylidene-19-nor-vitamin D compounds as antiosteoporotics and antitumor agents

IN Deluca, Hector F.; Sicinski, Rafal R.

PA Wisconsin Alumni Research Foundation, USA

SO PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07C401-00

ICS A61K031-59; A61P003-02; A61P003-14; A61P017-06; A61P035-00;

A61P035-02

CC 32-7 (Steroids)

Section cross-reference(s): 1, 63

FAN.CNT 3

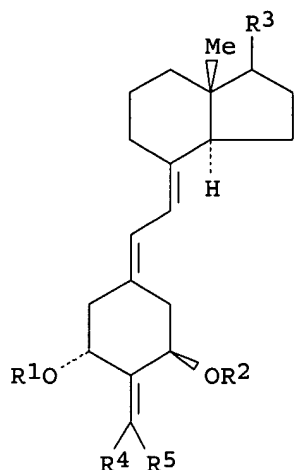
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

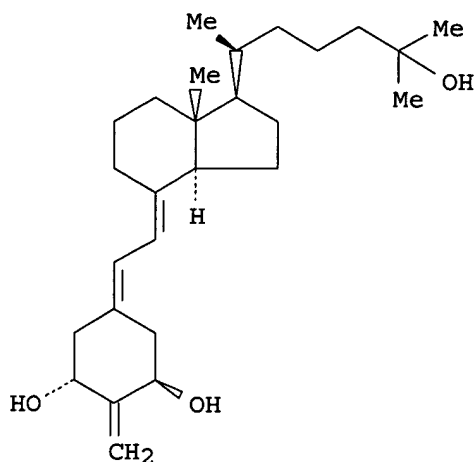
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EP 1268416	A1	20030102	EP 2001-920897	20010329
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JP 2003529581	T2	20031007	JP 2001-572461	20010329
NZ 522160	A	20041126	NZ 2001-522160	20010329
PRAI US 2000-540686	A	20000331		
US 1997-819693	A3	19970317		
US 1998-151113	A1	19980910		
US 1999-370966	B2	19990810		
WO 2001-US10317	W	20010329		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001074766	ICM	C07C401-00
	ICS	A61K031-59; A61P003-02; A61P003-14; A61P017-06; A61P035-00; A61P035-02
WO 2001074766	ECLA	C07C401/00
US 6392071	NCL	552/653.000
	ECLA	C07C401/00; C07F007/18C4D4C; C07F007/18C4D4D
OS MARPAT 135:288953		
GI		



I



II

AB Novel vitamin D related compds., namely, 2-alkylidene-19-nor-vitamin D derivs. of formula I [R1, R2 = H, protecting group; R3 = typical side chains known for vitamin D type compds.; R4, R5 = H, alkyl, hydroxyalkyl, fluoroalkyl, etc.; R4R5 = cycloalkylidene] are prepared These 2-substituted compds. are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anticancer agents and for the treatment of diseases such as psoriasis. Thus, II is prepared and is found to be extremely potent

in inducing differentiation of HL-60 cells.

ST vitamin D nor alkylidene prepn antiosteoporotic; antitumor vitamin D nor alkylidene prepn; psoriasis vitamin D nor alkylidene prepn

IT Biological transport
(calcium; preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Intestine, neoplasm
(colon, inhibitors; preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Antitumor agents
(colon; preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Antitumor agents
(leukemia; preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Antitumor agents
(mammary gland; preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Mammary gland
Prostate gland
(neoplasm, inhibitors; preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Antitumor agents
Osteomalacia
Psoriasis
(preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT 9,10-Secosteroids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Antitumor agents
(prostate gland; preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Bone, disease
(renal osteodystrophy; preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT Osteoporosis
(therapeutic agents; preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT 213250-70-5P 213319-29-0P **364059-44-9P 364059-49-4P 364059-50-7P 364059-51-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT 135711-62-5 144848-24-8 213250-67-0 364059-41-6 364059-46-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT 213250-58-9P 213250-59-0P 213250-60-3P 213250-61-4P 213250-62-5P
213250-63-6P 213250-64-7P 213250-65-8P 213250-68-1P 213250-69-2P
364059-42-7P 364059-43-8P 364059-47-2P 364059-48-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE

- (1) Deluca, H; US 4851401 A 1989 HCAPLUS
- (2) Deluca, H; US 5981780 A 1999 HCAPLUS
- (3) Sicinski; JOURNAL OF MEDICINAL CHEMISTRY 1998, V41, P4662 HCAPLUS
- (4) Wisconsin Alumni Res Found; WO 9841501 A 1998 HCAPLUS
- (5) Yang; J BIOL CHEM 1999, V274(24), P16838 HCAPLUS

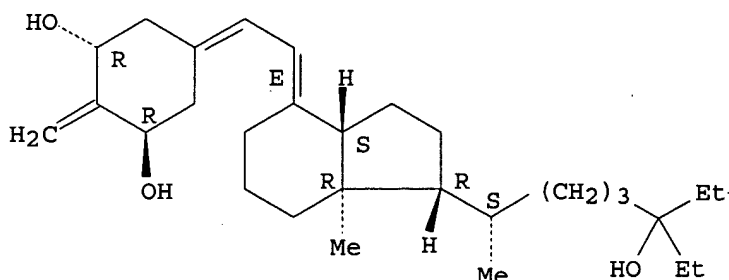
IT 364059-44-9P 364059-49-4P 364059-50-7P
364059-51-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

RN 364059-44-9 HCAPLUS

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methylene-, (1R,3R)-(9CI) (CA INDEX NAME)

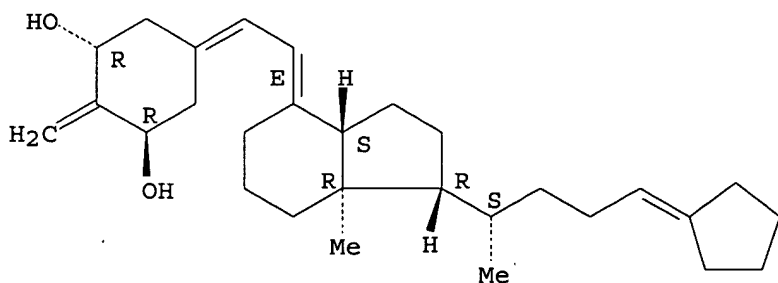
Absolute stereochemistry.
Double bond geometry as shown.



RN 364059-49-4 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-cyclopentylidene-2-methylene-, (1 α ,3 β ,7E,20S)-(9CI) (CA INDEX NAME)

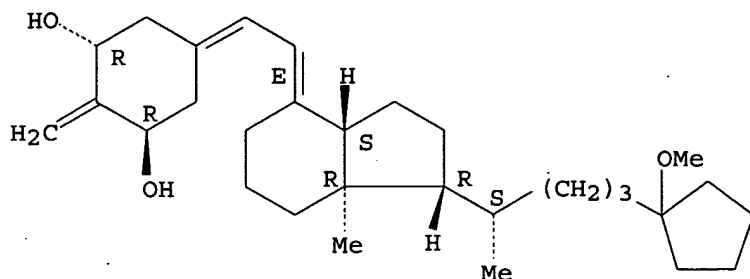
Absolute stereochemistry.
Double bond geometry as shown.



RN 364059-50-7 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-methoxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S)-(9CI) (CA INDEX NAME)

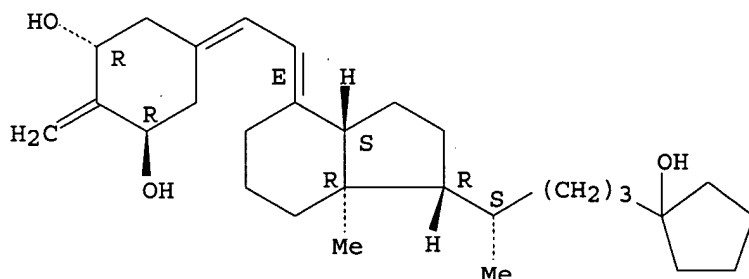
Absolute stereochemistry.
Double bond geometry as shown.



RN 364059-51-8 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-hydroxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L16 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:747742 HCAPLUS

DN 135:304063

ED Entered STN: 12 Oct 2001

TI Preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compounds

IN Deluca, Hector F.; Sicinski, Rafal R.

PA Wisconsin Alumni Research Foundation, USA

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07C401-00

ICS A61K031-59

CC 32-7 (Steroids)

Section cross-reference(s): 1, 63

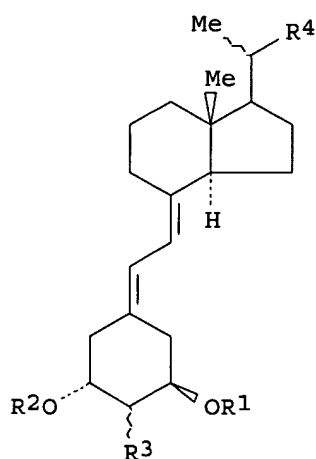
FAN.CNT 6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001074765	A1	20011011	WO 2001-US10094	20010329
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

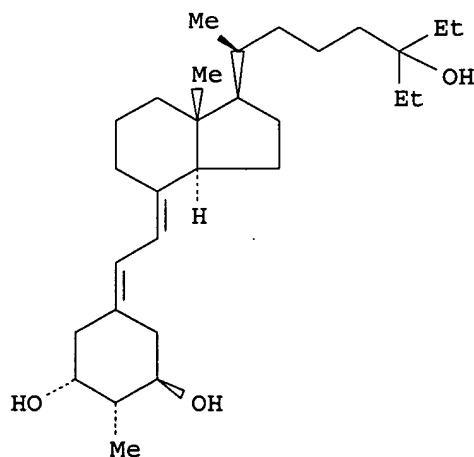
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EP 1268415	A1	20030102	EP 2001-920863	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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US 1997-819694	A2	19970317		
US 1998-135463	A3	19980817		
US 1999-454013	A2	19991203		
WO 2001-US10094	W	20010329		
US 2001-45941	B3	20011019		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001074765	ICM	C07C401-00
	ICS	A61K031-59
WO 2001074765	ECLA	C07C401/00
US 6316642	NCL	552/653.000
	ECLA	C07C401/00
JP 2004500414	FTERM	4C086/AA01; 4C086/AA02; 4C086/AA03; 4C086/DA16; 4C086/MA01; 4C086/MA04; 4C086/MA13; 4C086/MA17; 4C086/MA22; 4C086/MA23; 4C086/MA28; 4C086/MA32; 4C086/MA35; 4C086/MA37; 4C086/MA41; 4C086/MA52; 4C086/MA57; 4C086/MA59; 4C086/MA63; 4C086/MA66; 4C086/NA14; 4C086/ZA89; 4C086/ZA96; 4C086/ZA97; 4C086/ZB26; 4C086/ZB27; 4C086/ZC23; 4H006/AA01; 4H006/AB20; 4H006/UA13; 4H006/UA42; 4H006/UA51; 4H006/UA52
US 2004072804	NCL	514/167.000
	ECLA	A61K031/56; A61K031/59
OS MARPAT 135:304063		
GI		



I



II

AB 2-Alkyl-19-nor-vitamin D derivs. of formula I [R1, R2 = H, protecting group; R3 = alkyl, hydroxyalkyl, fluoroalkyl; R4 = H, Me, acyl, OH, any of the typical side chains known for vitamin D type compds., etc.] are prepared

These compds. are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis. Thus, II is prepared and had a VDR binding ratio of 5.5, and HL-60 differentiation ED50 of 1.1×10^{-10} M.

- ST vitamin D homologated epi alkyl nor prepn osteoporosis; antitumor vitamin D homologated epi alkyl nor prepn
- IT Biological transport
(calcium; preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT Prostate gland
(carcinoma, inhibitors; preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT Intestine, neoplasm
(colon, inhibitors; preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT Antitumor agents
(colon; preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT Antitumor agents
(leukemia; preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT Antitumor agents
(mammary gland; preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT Mammary gland
(neoplasm, inhibitors; preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT Bone, disease
(osteodystrophy; preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT Antitumor agents
Osteomalacia
Psoriasis
(preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT 9,10-Secosteroids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT Vitamin D receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT Antitumor agents
(prostate carcinoma; preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT Osteoporosis
(therapeutic agents; preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)
- IT 213319-30-3P 213332-83-3P 217446-51-0P 217446-52-1P 217446-53-2P
217446-54-3P 217446-55-4P 217446-56-5P 364059-45-0P
364059-49-4P 364059-50-7P 364059-52-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT 135711-62-5 144848-24-8 213250-67-0 364059-41-6 364059-46-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

IT 213250-58-9P 213250-59-0P 213250-60-3P 213250-61-4P 213250-62-5P
213250-63-6P 213250-64-7P 213250-65-8P 213250-68-1P 213250-69-2P
213250-70-5P 213319-29-0P 364059-42-7P 364059-43-8P
364059-44-9P 364059-47-2P 364059-48-3P 364059-51-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Mikami, K; SYNLETT 1999, V12, P1899
- (2) Sicinski, R; J MED CHEM 1998, V41(23), P4662 HCAPLUS
- (3) Winconsin Alumni Research Foundation; WO 0010548 A 2000
- (4) Winconsin Alumni Research Foundation; WO 9000541 A 1990 HCAPLUS
- (5) Winconsin Alumni Research Foundation; WO 9841500 A 1998 HCAPLUS

IT **364059-49-4P 364059-50-7P**

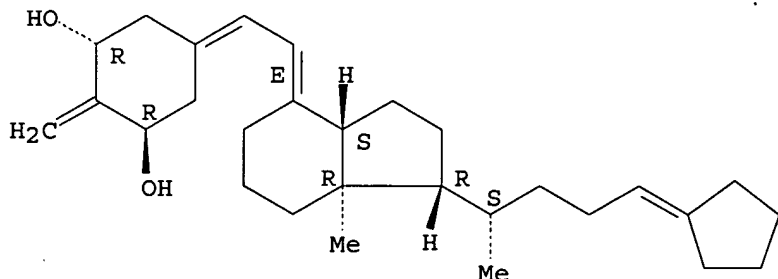
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

RN 364059-49-4 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-cyclopentylidene-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

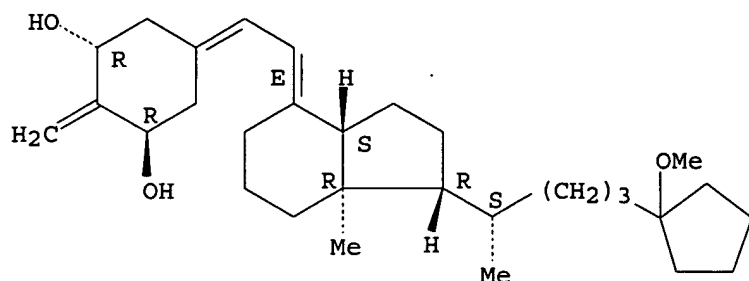
Absolute stereochemistry.
Double bond geometry as shown.



RN 364059-50-7 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-methoxycyclopentyl)-2-methylene-, (1 α ,3 β ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 364059-44-9P 364059-51-8P

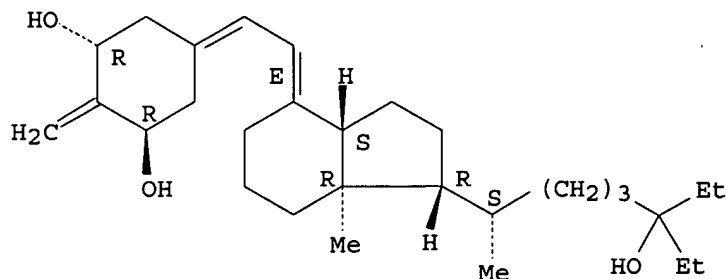
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

RN 364059-44-9 HCAPLUS

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methylene-, (1R,3R)- (9CI) (CA INDEX NAME)

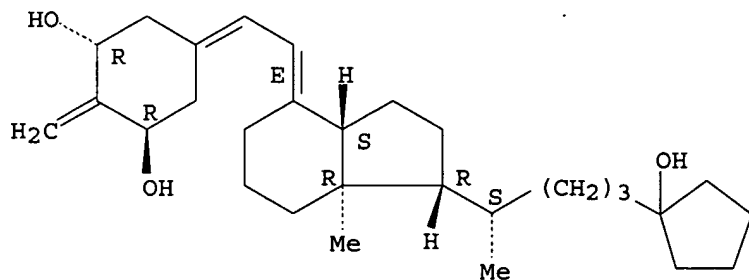
Absolute stereochemistry.
Double bond geometry as shown.



RN 364059-51-8 HCAPLUS

CN 19-Nor-9,10-secochola-5,7-diene-1,3-diol, 24-(1-hydroxycyclopentyl)-2-methylene-, (1α,3β,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



=> d his

(FILE 'HOME' ENTERED AT 15:12:24 ON 05 AUG 2005)
SET COST OFF

FILE 'HCAPLUS' ENTERED AT 15:12:52 ON 05 AUG 2005

L1 4 S (US20040167104 OR US6696531 OR US20030181427 OR US6537981 OR
SEL RN

FILE 'REGISTRY' ENTERED AT 15:14:13 ON 05 AUG 2005

L2 46 S E1-E46
L3 8 S L2 AND C5-C6/ES AND 46.150.1/RID AND 3/NR
L4 5 S L2 AND C5-C6/ES AND 46.150.1/RID AND C5/ES AND 4/NR
L5 1 S L3 AND C29H48O3
L6 51 S C29H48O3 AND C5-C6/ES AND 46.150.1/RID
L7 49 S L6 AND 3/NR
L8 3 S L4 NOT SI/ELS
L9 1884 S C30H48O3 OR C29H46O3 OR C29H44O2
L10 4 S L9 AND C5-C6/ES AND 46.150.1/RID AND C5/ES AND 4/NR
L11 3 S L10 NOT 114694-10-9
L12 4 S L5,L8,L11
SAV L12 QAZI780/A

FILE 'HCAOLD' ENTERED AT 15:21:10 ON 05 AUG 2005

L13 0 S L12

FILE 'HCAPLUS' ENTERED AT 15:21:14 ON 05 AUG 2005

L14 5 S L12
L15 4 S L14 AND (PY<=1997 OR PRY<=1997 OR AY<=1997)
L16 5 S L14 AND (DELUCA ? OR DE LUCA ? OR LUCA ? OR SICINSKI ?)/AU

FILE 'USPATFULL' ENTERED AT 15:22:36 ON 05 AUG 2005

L17 2 S L12

FILE 'REGISTRY' ENTERED AT 15:22:48 ON 05 AUG 2005

FILE 'USPATFULL' ENTERED AT 15:22:59 ON 05 AUG 2005

FILE 'HCAPLUS' ENTERED AT 15:23:09 ON 05 AUG 2005

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